CLAIMS

1. A method of:

a) inhibiting the lipolytic activity of hormone-sensitive lipase against triacylglycerols, diacylglycerols, cholesterol acyl esters or steroid acyl esters; and/or

b) modulating the plasma level of free fatty acids, glycerol, LDL-cholesterol, HDL-cholesterol, insulin and/or glucose; and/or

c) modulating intracellular triacylglycerol and cholesterol ester stores, intracellular level of fatty acids, fatty acid esters such as diacylglycerols, phosphatidic acids, long chain acyl-CoA´s as well as citrate or malonyl-CoA; and/or

d) increasing insulin sensitivity in adipose tissue, skeletal muscle, liver or pancreatic β cells; and/or

e) modulating insulin secretion from pancreatic β cells; and/or

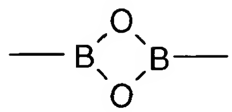
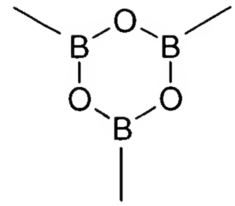
f) inhibiting male fertility

in a patient comprising, administering to a patient in need of such treatment a therapeutically effective amount of a boronic acid, an ester thereof, a prodrug thereof, or a pharmaceutically acceptable salt thereof, or a pharmaceutically acceptable solvate thereof.

2. The method according to claim 1, wherein the pK_a of said boronic acid, an ester thereof, a prodrug thereof or a pharmaceutically acceptable salt thereof is between 2.0 and 11.5, between 3.0 and 10.5, between 4.0 and 9.5, between 5.0 and 8.5, preferably between 5.5 to 8.0, and most preferable between 6.0 to 7.5.

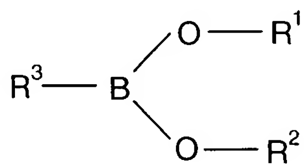
3. The method according to claim 1, wherein the boronic acid, an ester thereof or a prodrug thereof is a dimer or trimer of a boronic acid.

4. The method according to claim 3, wherein said dimer or trimer of the boronic acid comprises a structure selected from:

 and 

5. The method according to claim 1, wherein the boronic acid, an ester thereof or a prodrug thereof comprises an atom selected from the group consisting of S, P, I, Br, Si, Se and Ge.

6. The method according to claim 1, wherein the boronic acid, an ester thereof or a prodrug thereof is of the general formula I



(I)

wherein R^1 and R^2 are independently selected from hydrogen, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl, wherein each of C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substituted with one or more substituents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl, wherein each of hydroxy, sulfanyl, sulfonyl, sulfinyl, amino, imino, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substituted with one or more substituents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl, wherein each of hydroxy, sulfanyl, sulfonyl, sulfinyl, amino, imino, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substituted with one or more substituents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl, wherein each of hydroxy, sulfanyl, sulfonyl, sulfinyl, amino, imino, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substi-

tuted with one or more substituents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl, wherein each of hydroxy, sulfanyl, sulfonyl, sulfinyl, amino, imino, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substituted with one or more substituents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl;

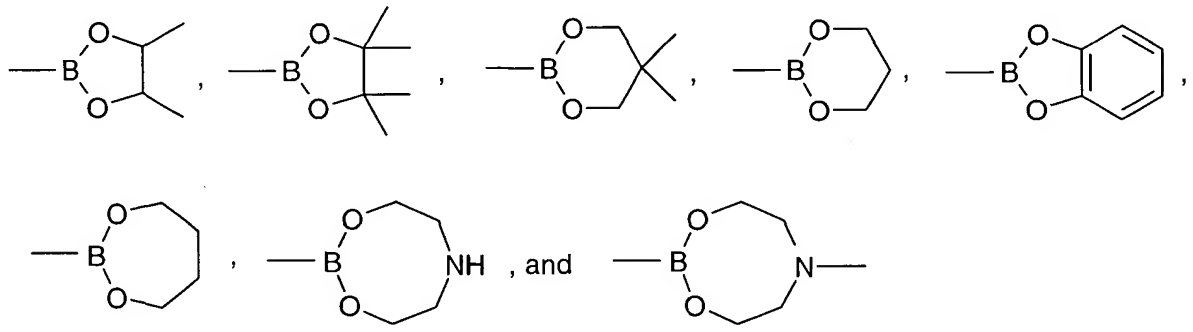
wherein R^2 is optionally covalently bound to R^1 by one or two ether, thioether, O-B, C-C, C=C or C-N bonds, to form a ring system with the O-atoms to which R^1 and R^2 are bound; and

R^3 is selected from C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl, wherein each of C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substituted with one or more substituents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl, wherein each of hydroxy, sulfanyl, sulfonyl, sulfinyl, amino, imino, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substituted with one or more substituents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl, wherein each of hydroxy, sulfanyl, sulfonyl, sulfinyl, amino, imino, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substituted with one or more substituents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substituted with one or more substituents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl, wherein each of hydroxy, sulfanyl, sulfonyl, sulfinyl, amino, imino, silyl, bo-

ranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substituted with one or more substituents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl, wherein each of hydroxy, sulfanyl, sulfonyl, sulfinyl, amino, imino, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substituted with one or more substituents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl;

or any tautomeric forms, stereoisomers, mixture of stereoisomers including a racemic mixture, oligomers or polymorphs.

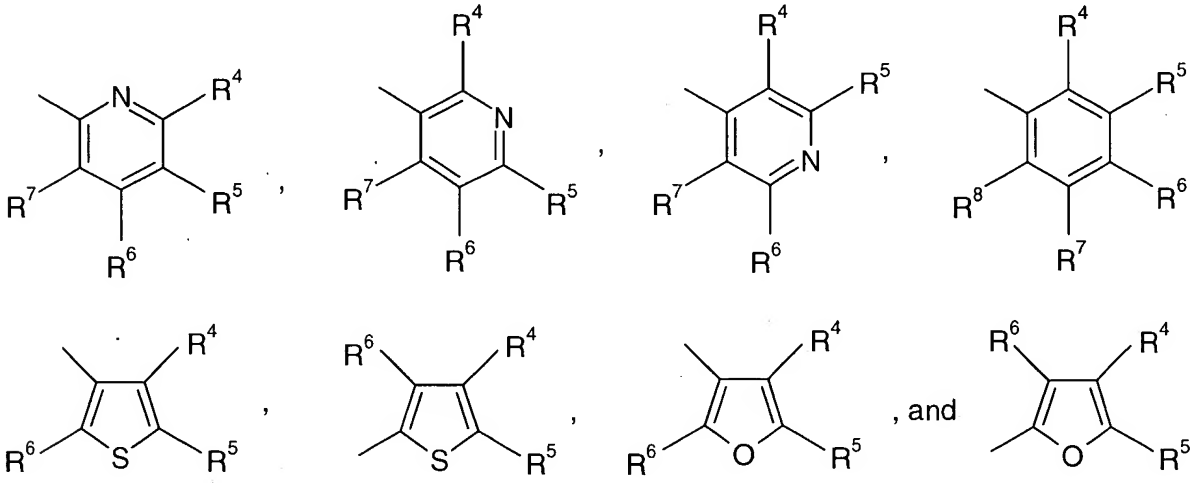
7. The use according to claim 1, wherein the boronic acid, an ester thereof, or a prodrug thereof, comprises a structure selected from the group consisting of



8. The use according to claim 6, wherein the group R^3 in the general formula (I) comprises an optionally substituted moiety selected from the group consisting of pyrrolidine-2-yl, pyrrolidine-3-yl, pyrrole-2-yl, pyrrole-3-yl, 3H-pyrrole-2-yl, 3H-pyrrole-3-yl, 3H-pyrrole-4-yl, 3H-pyrrole-5-yl, oxolane-2-yl, oxolane-3-yl, furane-2-yl, furane-3-yl, thiolane-2-yl, thiolane-3-yl, thiophene-2-yl, thiophene-3-yl, pyrazole-3-yl, pyrazole-4-yl, pyrazole-5-yl, pyrazolidine-3-yl, pyrazolidine-4-yl, imidazole-2-yl, imidazole-4-yl, imidazole-5-yl, imidazolidine-2-yl, imidazolidine-4-yl, 3H-pyrazole-3-yl, 3H-pyrazole-4-yl, 3H-pyrazole-5-yl, isoxazole-3-yl, isoxazole-4-yl, isoxazole-5-yl, oxazole-2-yl, oxazole-4-yl, oxazole-5-yl, isothiazole-3-yl, isothiazole-4-yl, isothiazole-5-yl, thiazole-2-yl, thi-

azole-4-yl, thiazole-5-yl, 1,2,5-oxadiazole-3-yl, 1,3,5-oxadiazole-2-yl, 1,3,5-oxadiazole-4-yl, 1,3,4-oxadiazole-2-yl, 1,2,3,5-oxatriazole-4-yl, 1,2,5-thiadiazole-3-yl, 1,3,5-thiadiazole-2-yl, 1,3,5-thiadiazole-4-yl, 1,3,4-thiadiazole-2-yl, 1,2,3,5-thiatriazole-4-yl, 1,2,3-triazole-4-yl, 1,2,3-triazole-5-yl, 1,2,4-triazole-3-yl, 1,2,4-triazole-5-yl, 1,2,5-triazole-3-yl, tetrazole-5-yl, 1,3-oxathiole-2-yl, 1,3-oxathiole-4-yl, 1,3-oxathiole-5-yl, benzofurane-2-yl, benzofurane-3-yl, isobenzofurane-1-yl, benzothiophene-2-yl, benzothiophene-3-yl, isobenzothiophene-1-yl, 1H-indole-2-yl, 1H-indole-3-yl, 2H-isoindole-1-yl, indolizine-1-yl, indolizine-2-yl, indolizine-3-yl, 1H-benzimidazole-2-yl, 1H-benzothiazole-2-yl, 1H-benzoxazole-2-yl, 1H-benzisooxazole-3-yl, 3H-indazole-3-yl, piperedine-1-yl, piperedine-2-yl, piperedine-3-yl, piperedine-4-yl, piperazine-1-yl, piperazine-2-yl, 2,5-dione-piparazine-1-yl, 2,5-dione-piparazine-3-yl and phenyl.

9. The method according to claim 6, wherein the group R^3 is selected from the group consisting of:



, and

wherein R^4, R^5, R^6, R^7 and R^8 are independently selected from C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl, wherein each of C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substituted with one or more substituents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl, wherein each of hydroxy, sulfanyl, sulfonyl, sulfinyl, amino, imino, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substituted with one or more substitu-

ents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl, wherein each of hydroxy, sulfanyl, sulfonyl, sulfinyl, amino, imino, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substituted with one or more substituents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl, wherein each of hydroxy, sulfanyl, sulfonyl, sulfinyl, amino, imino, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substituted with one or more substituents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl, wherein each of hydroxy, sulfanyl, sulfonyl, sulfinyl, amino, imino, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl is optionally substituted with one or more substituents independently selected from hydroxy, sulfanyl, sulfonyl, sulfinyl, oxo, thioxo, halogen, amino, imino, cyano, nitro, silyl, boranyl, C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl, aryl, heteroaryl, C_{3-8}-heterocyclyl and C_{3-10}-cycloalkyl.

10. The method according to claim 9, wherein the molar weight of each of R^4, R^5, R^6, R^7 and R^8 are below about 100 Dalton, preferably below about 80 Dalton, more preferable below 50 Dalton and even more preferable below about 20 Dalton.

11. The method according to claim 9, wherein R^4, R^5, R^6, R^7 and R^8 are independently selected from hydrogen, halogen, hydroxyl, perhalomethyl, perhalomethoxy, C_{1-6}-alkyl, C_{1-6}-alkoxy and C_{1-6}-alkylthio.

12. The method according to claim 9, wherein R^4, R^5, R^6, R^7 and R^8 are independently selected from hydrogen, halogen, methyl, methoxy, thiomethoxy, perhalomethyl, perhalomethoxy

13. The method according to claim 9, wherein R^4, R^5, R^6, R^7 and R^8 are independently selected from hydrogen, halogen, trifluoromethyl and trifluoromethoxy.

14. The method according to claim 6, wherein the group R^1 is H.

15. The method according to claim 6, wherein the group R^1 is H and the group R^2 is H.

16. The method according to claim 1, wherein said boronic acid, an ester thereof or a prodrug thereof is selected from the group consisting of:

2-(5-Chlorothiophen-2-yl)-4,4,5,5-tetramethyl-[1,3,2]dioxaborolane,
2-(5-Chlorothiophen-2-yl)-5,5-dimethyl-[1,3,2]dioxaborinane,
2-(5-Chlorothiophen-2-yl)-[1,3,6,2]dioxazaborocane,
2-(3,5-Difluorophenyl)-[1,3,6,2]dioxazaborocane,
2-(3-Bromophenyl)-[1,3,6,2]dioxazaborocane,
2-(3-Chlorophenyl)-[1,3,6,2]dioxazaborocane,
2-(3-Fluorophenyl)-[1,3,6,2]dioxazaborocane,
2-(3-Trifluoromethylphenyl)-[1,3,6,2]dioxazaborocane,
2-(3,4,5-Trifluorophenyl)-[1,3,6,2]dioxazaborocane,
2-(3-Chlorophenyl)-5,5-dimethyl-[1,3,2]dioxaborinane,
5,5-Dimethyl-2-(3-trifluoromethylphenyl)-[1,3,2]dioxaborinane,
2-(5-Chloro-2-methoxyphenyl)-[1,3,6,2]dioxazaborocane,
2-(3-Trifluoromethoxyphenyl)-[1,3,6,2]dioxazaborocane,
2-(3,5-Dichlorophenyl)-[1,3,6,2]dioxazaborocane,
2-(3-Chloro-4-fluorophenyl)-[1,3,6,2]dioxazaborocane,
2-(4-Methylthiophen-2-yl)-[1,3,6,2]dioxazaborocane,
2-(3-Bromophenyl)-5,5-dimethyl-[1,3,2]dioxaborinane,
2-(5-Chloro-2-methoxyphenyl)-5,5-dimethyl-[1,3,2]dioxaborinane,
5,5-Dimethyl-2-(3,4,5-trifluorophenyl)-[1,3,2]dioxaborinane,
5,5-Dimethyl-2-(3-trifluoromethoxyphenyl)-[1,3,2]dioxaborinane,
2-(3,5-Dichlorophenyl)-5,5-dimethyl-[1,3,2]dioxaborinane,
2-(3-Chloro-4-fluorophenyl)-5,5-dimethyl-[1,3,2]dioxaborinane,
2-(3-Fluorophenyl)-5,5-dimethyl-[1,3,2]dioxaborinane,
5,5-Dimethyl-2-(4-methylthiophen-2-yl)-[1,3,2]dioxaborinane,
2-(3-Bromophenyl)-4,4,5,5-tetramethyl-[1,3,2]dioxaborolane,
2-(5-Chloro-2-methoxyphenyl)-4,4,5,5-tetramethyl-[1,3,2]dioxaborolane,

4,4,5,5-Tetramethyl-2-(3-trifluoromethoxyphenyl)-[1,3,2]dioxaborolane,
2-(3,5-Dichlorophenyl)-4,4,5,5-tetramethyl-[1,3,2]dioxaborolane,
2-(3-Chloro-4-fluorophenyl)-4,4,5,5-tetramethyl-[1,3,2]dioxaborolane,
2-(3-Chlorophenyl)-4,4,5,5-tetramethyl-[1,3,2]dioxaborolane,
4,4,5,5-Tetramethyl-2-(3-trifluoromethylphenyl)-[1,3,2]dioxaborolane,
4,4,5,5-Tetramethyl-2-(4-methylthiophen-2-yl)-[1,3,2]dioxaborolane,
4-Benzyloxyphenylboronic acid,
4-Bromobenzeneboronic acid n-methyldiethanolamine cyclic ester,
2-(3,5-Difluorophenyl)-5,5-dimethyl-1,3,2-dioxaborinane,3-Bromobenzeneboronic acid n-methyldiethanolamine cyclic ester,
2-(4-Bromophenyl)-5,5-dimethyl-1,3,2-dioxaborinane,
2-(2-Chlorophenyl)-5,5-dimethyl-1,3,2-dioxaborinane,
2-(5,5-Dimethyl-[1,3,2]dioxaborinan-2-yl)-benzonitrile,
2-(2-Fluoro-phenyl)-5,5-dimethyl-[1,3,2]dioxaborinane,
2-(5,5-Dimethyl-[1,3,2]dioxaborinan-2-yl)-benzoic acid ethyl ester,
5-Chloro-2-methoxyphenylboronic acid,
3,5-Dibromophenylboronic acid,
3-Ethoxyphenylboronic acid,
3-phenylphenylboronic acid,
4-fluorophenylboronic acid,
2-Bromophenylboronic acid,
3-Bromophenylboronic acid,
2,6-Dichlorophenylboronic acid,
3-Methylphenylboronic acid,
2-Chlorophenylboronic acid,
3-Chlorophenylboronic acid,
3-(Trifluoromethoxy)benzeneboronic acid,
3-Trifluoromethylphenylboronic acid,
3,5-Bis(Trifluoromethyl)phenylboronic acid,
3,5-Dichlorophenylboronic acid,
3-Chloro-4-fluorophenylboronic acid,
3,5-Difluorophenylboronic acid,

3-Fluorophenylboronic acid,
2,3-Difluoro-4-pentylphenylboronic acid,
(3-Dluoro-4-benzyloxyphenyl)boronic acid,
3,4,5-Trifluorophenylboronic acid,
2,3,5-Trichlorophenylboronic acid,
2,5-Dichlorophenylboronic acid,
2,3-Difluorophenylboronic acid,
2,5-Difluorophenylboronic acid,
4'-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)acetanilide,
3,4-Difluorophenylboronic acid,
2,3-Dichlorophenylboronic acid,
2,3-Difluoro-4-bromophenylboronic acid,
3-Fluoro-4-phenylboronic acid,
2-Methoxy5-fluorophenylboronic acid,
3,4-Dichlorophenylboronic acid,
5-Indolyl boronic acid,
3-Formylphenylboronic acid,
4-(N,N-dimethylcarbamoyl)phenylboronic acid,
6-Methoxy-2-phenyl-hexahydro-pyrano[3,2-a][1,3,2]dioxaborinine-7,8-diol,
2-Fluoro-4-(5-pentyl-[1,3,2]dioxaborinan-2-yl)-benzoic acid,
4-(3-Iodo-phenoxymethyl)-2-phenyl-[1,3,2]dioxaborolane,
3'-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)-2-trimethylsilylthiophen,
4'-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)2-nitrothiophene,
1-Benzothiophen-3-ylboronic acid,
2-Formyl-3-thiopheneboronic acid,
2-Thien-3-yl-1,3,2-benzodioxaborole,
3-Thiophenboronic acid,
2-(2-Formyl-3-methylthien-5-yl)-1,3,2-dioxaborinane,
4-Methylthiophene-2-boronic acid,
5-Methylfuran-2-boronic acid,
5-Methylthiophene-2-boronic acid,

Benzo[b]furan-2-boronic acid,Benzo[B]thiophene-2-boronic acid,Furan-2-boronic acid,5-Chlorothiophene-2-boronic acid,5-Cyanothiophene-2-boronic acid,5-Acetylthiophene-2-boronic acid,Thiophene-2-boronic acid,3-Bromothiophene-2-boronic acid, and5,5-Dimethyl-2-(3-iodothiophen-2-yl)-[1,3,2]dioxaborinane.

17. The method according to claim 6, wherein R^3 is characterized in pK_a of the compound R^3-$B(OH)_2$ being between 2.0 and 11.5, between 3.0 and 10.5, between 4.0 and 9.5, between 5.0 and 8.5, preferably between 5.5 to 8.0, and most preferable between 6.0 to 7.5.

18. The method according to claim 1, wherein said boronic acid, or an ester thereof or a prodrug thereof has a molar weight of no greater than 1000 D.

19. The method according to claim 1, wherein the molar weight of said boronic acid, an ester thereof or a prodrug thereof is less than 750 D, preferably less than 500 D, more preferable less than 350 D, more preferable less than 300 D, more preferable less than 250 D and even more preferable less than 200 D.

20. The method according to claim 1, wherein said boronic acid, an ester thereof or a prodrug thereof has an IC_{50} value as determined by the assay 3190.2 or 3180.1 disclosed herein of less than 50 μM, preferably less than 5 μM, more preferable less than 500 nM and even more preferable less than 100 nM.

21. The method according to claim 1, wherein said boronic acid, an ester thereof or a prodrug thereof has a solubility in water at 25 °C and pH 2.0 of at least 0.5 mg/L, preferably at least 2.5 mg/L, more preferable at least 20 mg/L, even more preferable at least 200 mg/L and most preferable at least 2 g/L.

22. The method according to claim 1, wherein administration of said boronic acid, an ester thereof or a prodrug thereof is by the oral, nasal, transdermal, pulmonal, or parenteral route.

23. The method according to claim 1, wherein a pharmaceutical composition is administered, said pharmaceutical composition comprising, as an active ingredient, a boronic acid, an ester

thereof, a prodrug thereof, or a pharmaceutically acceptable salt thereof, or a pharmaceutically acceptable solvate thereof, together with a pharmaceutically acceptable carrier or diluent.

24. The method according to claim 2, wherein a pharmaceutical composition is administered, said pharmaceutical composition comprising, as an active ingredient, a boronic acid, an ester thereof or a prodrug thereof, or a pharmaceutically acceptable salt thereof, together with a pharmaceutically acceptable carrier or diluent.

25. The pharmaceutical composition according to claim 24 in unit dosage form, comprising from about 0.05 mg to about 2000 mg, preferably from about 0.1 to about 500 mg of the boronic acid, an ester thereof, a prodrug thereof or a pharmaceutically acceptable salt thereof or a pharmaceutically acceptable solvate thereof.

26. The pharmaceutical composition according to claim 23 for oral, nasal, transdermal, pulmonal or parenteral administration.

27. A method according to claim 1 for treating a disorder where it is desirable to inhibit the lipolytic activity of hormone-sensitive lipase against triacylglycerols, diacylglycerols, cholesterol acyl esters or steroid acyl esters.

28. A method according to claim 1 for treating a disorder where it is desirable to modulate the plasma level of free fatty acids or to modulate the handling, storage and oxidation of intracellular fatty acid and cholesterol.

29. The method according to claim 27, wherein said disorder is selected from the group consisting of insulin resistance, diabetes type 1, diabetes type 2, metabolic syndrome X, impaired glucose tolerance, hyperglycemia, dyslipidemia, obesity, abnormalities of lipoprotein metabolism and any combination thereof.

30. The method according to claim 28, wherein said disorder is selected from the group consisting of insulin resistance, diabetes type 1, diabetes type 2, metabolic syndrome X, impaired glu-

cose tolerance, hyperglycemia, dyslipidemia, obesity, abnormalities of lipoprotein metabolism and any combination thereof.

31. A method of treating a patient suffering from insulin resistance, diabetes type 1, diabetes type 2, metabolic syndrome X, impaired glucose tolerance, hyperglycemia, dyslipidemia, hyperlipoproteinemia, hypertriglyceridemia, hyperlipidemia, hypercholesterolemia, or other abnormalities of lipoprotein metabolism, said method comprising administering to the patient a pharmaceutically effective amount of a boronic acid, an ester thereof, a prodrug thereof or a pharmaceutically acceptable salt thereof or a pharmaceutically acceptable solvate thereof.

32. The method according to claim 31, wherein the pK_a of said boronic acid, an ester thereof, a prodrug thereof or a pharmaceutically acceptable salt thereof is between 2.0 and 11.5, between 3.0 and 10.5, between 4.0 and 9.5, between 5.0 and 8.5, preferably between 5.5 to 8.0, and most preferable between 6.0 to 7.5.

33. The method according to claim 27, wherein the patient is treated with said boronic acid, an ester thereof, a prodrug thereof or a pharmaceutically acceptable salt thereof or a pharmaceutically acceptable solvate thereof for at least about 1 week, for at least about 2 weeks, for at least about 4 weeks, for at least about 2 months or for at least about 4 months.

34. The method according to claim 28, wherein the patient is treated with said boronic acid, an ester thereof, a prodrug thereof or a pharmaceutically acceptable salt thereof or a pharmaceutically acceptable solvate thereof for at least about 1 week, for at least about 2 weeks, for at least about 4 weeks, for at least about 2 months or for at least about 4 months.

35. The method according to claim 31, wherein the patient is treated with said boronic acid, an ester thereof, a prodrug thereof or a pharmaceutically acceptable salt thereof or a pharmaceutically acceptable solvate thereof for at least about 1 week, for at least about 2 weeks, for at least about 4 weeks, for at least about 2 months or for at least about 4 months.